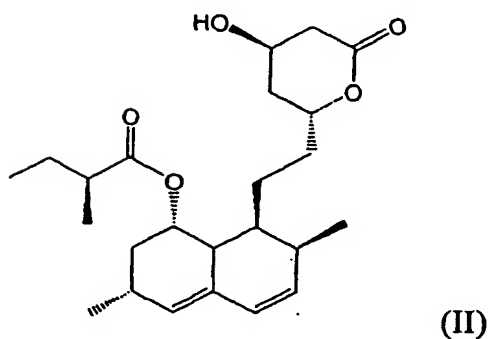
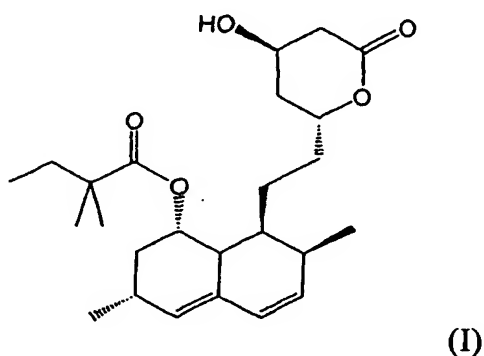
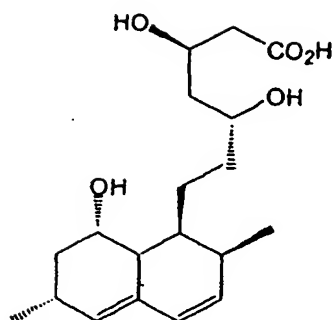


What is claimed is :

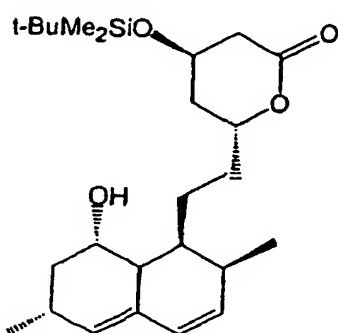
1. A method of preparing simvastatin of formula (I) comprising the steps of:
(a) treating lovastatin of formula (II) with potassium hydroxide dissolved in a
5 mixture of water and methanol to obtain the compound of formula (III);
(b) relactonizing the compound of formula (III), and protecting the hydroxy
group on the lactone ring to obtain the compound of formula (V); and
(c) acylating the compound of formula (V) with 2,2-dimethylbutyryl chloride or
2,2-dimethylbutyryl bromide in the presence of an acylation catalyst which is a
10 compound of formula (VII) or a compound of formula (VIII) in an organic
solvent, followed by removing the silyl protecting group on the lactone ring to
obtain simvastatin of formula (I).



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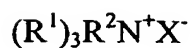


(III)

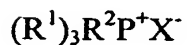


(V)

5



(VII)



(VIII)

Wherein R^1 is C_{1-20} alkyl or phenyl; R^2 is C_{1-20} alkyl, phenyl, or benzyl; and X is
 10 Br or I.

2. The method of claim 1, wherein potassium hydroxide used in step (a) is employed in an amount ranging from 5 to 15 equivalents based on the amount of lovastatin of formula (II).

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3. The method of claim 1, wherein water and methanol are used in a ratio (v:v) of 1:2 to 1:20 in step (a).

4. The method of any one of claims 1 to 3, wherein the mixture of water and methanol is used in step (a) in an amount of 1 to 8 ml per 1 g of potassium hydroxide
- 5 5. The method of claim 1, wherein the acylation catalyst used in step (c) is selected from the group consisting of benzyltri-n-butylammonium bromide, tetra-n-butylammonium bromide and tetra-n-butylphosphonium bromide.
6. The method of claim 1 or 5, wherein the acylation catalyst used in step (c) is
10 employed in an amount ranging from 0.5 to 3 equivalents based on the amount of the compound of formula (V).
7. The method of claim 1, wherein 2,2-dimethylbutyryl chloride or bromide used in step (c) is employed in an amount ranging from 1 to 3 equivalents based
15 on the amount of the compound of formula (V).
8. The method of claim 1, wherein the acylation step (c) is carried out in refluxing benzene while azeotropically removing water using a Dean- stark trap.